

Peptide	SEQ. ID. NO.	% Peptide Cleaved at 4 Hours by York PSA
Semenogelin (463 aa)		100 (30 min)
GRKANKISYQ-SSSTEERRLHYGENG	6	100 (2 hrs)
SQKANKISYQ-SSSTEERRLHYGENG	67	100 (3 hrs)
ANKISYQ-SSSTE	11	98
ISYQ-SSST	68	0
NKISYQ-SSST	10	62
NKISYQ-SSSTE	3	90
KISYQ-SSSTE	9	49
SYQ-SSSTE	7	0 (3 hrs)
ISYQ-SSSTE	8	0
NKISYQ-SSS	17	55
ANKISYQ-SSS	18	45
ANKISYQ-SS	69	39
ANKISYQ-SSSTE-amide	11	43
Ac-ANKISYQ-SSSTL	70	57
Ac-ANKISYQ-SSSTE-amide	11	40
Ac-ANKISYQ-SSSTL-amide	70	46
Ac-ANGISYQ-SSSTE-amide	71	0
Ac-ANPISYQ-SSSTE-amide	72	0
Ac-ANKISYQ-SASTE-amide	73	66
Ac-ANKISYQ-SSKTE-amide	74	80
Ac-ANKISYQ-SSTE-amide	75	44
Ac-ANKI(ds)YQ-SSSTE-amide	76	9
Ac-ANK(d1)SYQ-SSSTE-amide	77	0
Ac-ANKISYQ-SSQTE-amide	78	55
Ac-ANKISYQ-SAKTE-amide	79	80
Ac-AN(dK)ISYQ-SSSTE-amide	80	3
Ac-ANKISYQ-STE-amide	81	28
Ac-ANKIYQ-SSSTE-amide	82	0
Ac-ANKSYQ-SSTE-amide	83	10
Ac-ANKASYQ-SASTE-amide	84	98
Ac-ANEISYQ-SASTE-amide	85	10
Ac-NKISYQ-SS-amide	16	30
Ac-KISYQ-SS-amide	86	15
Ac-SYQ-SSTE-amide	87	65
Ac-SYQ-SSTL-acid	88	83
Ac-ASYQ-SSTE-amide	89	68
Ac-EISYQ-SSSTE-amide	90	0
Ac-ANEISYQ-SSSTE-amide	91	0
Ac-ANKISYY-SSSTE-amide	92	73
Ac-ANKISYY-SASTE-amide	93	91

Figure 3a

<u>Peptide</u>	<u>SEQ. ID. NO.</u>	<u>% Peptide Cleaved at 4 Hours by York PSA</u>
Ac-ASYQ-SSL-acid	94	71
Ac-ANSYQ-SSSTE-amide	95	28
Ac-ASYQ-SSSTE-amide	96	64
Ac-SYQ-SSSTE-amide	97	50
Ac-ANKASYQ-SASC-amide	98	78
Ac-Q-SSTE-amide	99	0
Ac-YQ-SSTE-amide	100	0
Ac-SQ-SSTE-amide	101	0
Ac-ANKISQ-SSTE-amide	102	0
Ac-AN(ORN)ISYQ-SSTE-amide	103	34
Ac-S(3PAL)Q-SSTE-amide	104	4
Ac-S(3,4-C12F)Q-SSTE-amide	105	6
Ac-SKQ-SSTE-amide	106	0
Ac-SYQ-SSL-acid	88	81
Ac-SYQ-SSSL-acid	107	98
(e-ACA)-YQ-SSSL-amide	108	0
ANK(N-Me-I)SYQ-SSTE-amide	109	0
SYQ-SSTE-amide	110	0
H0(CH2)2C0-YQ-SSTE-amide	111	0
Ac-SYK-SSTE-amide	112	5
Ac-SYY-SSTE-amide	113	93
Ac-SYQ-SSL-NHNH2	114	32
Ac-SYQ-SSL-acid	115	72
DAP-YQ-SSSL-amide	116	0

Figure 3b

<u>Doxorubicin-congener</u>	<u>SEQ. ID. NO.</u>	<u>% Peptide Cleaved at 4 Hours by York PSA</u>
Ac-ANKISYQ-SSST-DOX (3')	117	20(1 hr) no sample left
Ac-ANKISYQ-SSSTL-DOX (3')	70	87
Ac-ANKASYQ-SASTL-DOX (3')	118	NA
Ac-ANKASYQ-SASL-DOX (3')	119	100 (3 hr)
Ac-ANKASYQ-SSSL-DOX (3')	120	100 (3 hrs)
Ac-ANKASYQ-SSL-DOX (3')	121	91
Ac-SYQ-SST(dL)-DOX (3')	122	17
Ac-SYQ-SSSL-DOX (3')	107	95 (PARTIALLY SOLUBLE)
Ac-ANKASYA-SSSL-DOX (3')	123	0
Ac-KYQ-SSSL-DOX (3')	124	98 (PARTIALLY SOLUBLE)
Ac-SYQ-SSKL-DOX (3')	125	88
Ac-SYQ-SSK(dL)-DOX (3')	126	87

Figure 5

Doxorubicin-congener	SEQ ID NO.	% Peptide Cleaved	
		LINCAR MEDIA 4 HR	DUPRO MEDIA 4 HR
AC-ANKASYQ-SSSL-DOX (3')	119	92	13
AC-ANKASYQ-SSSL-DOX (3')	121	98	13
AC-ANKASYQ-SSL-DOX (3')	122	95	27
AC-SYQ-SSSL-DOX (3')	107	63	0

Figure 6

Doxorubicin-congener	SEQ_ID_NO	LNCaP Cell Kill, EC50 (μ M)
Ac-ANKISYQ-SSST-DOX (3')	117	> 100
Ac-ANKISYQ-SSSTL-DOX (3')	70	8.4
Ac-ANKASYQ-SASTL-DOX (3')	118	31
Ac-ANKASYQ-SASL-DOX (3')	119	16 (DuPRO > 100)
Ac-ANKASYQ-SSSL-DOX (3')	120	15
Ac-ANKASYQ-SSL-DOX (3')	121	6.5 (DuPRO = 117)
Ac-SYQ-SSSL-DOX (3')	107	20 (DuPRO>100) (PARTIALLY SOLUBLE)
Ac-ANKASYA-SSSL-DOX (3')	123	> 100
Ac-KYQ-SSSL-DOX (3')	124	6.5 (DuPRO > 100)
Ac-SYQ-SSKL-DOX (3')	125	11.8 (DuPRO > 100)
Ac-SYQ-SSK(dL)-DOK (3')	126	>100 (DuPRO >100)
Ac-hRYQ-SSSL-DOX (3')	145	6.4 (DuPRO > 100)
Ac-KYQ-SSS(Nle)-DOX (3')	146	4.4 (DuPRO >100)

Figure 7